```
     FILE 'REGISTRY' ENTERED AT 11:13:52 ON 28 JUN 2007
L1                STRUCTURE UPLOADED
L2              0 S L1
L3                STRUCTURE UPLOADED
L4              2 S L3
L5             33 S L3 SSS FULL
L6              0 S L1  SUB=L4 FULL
L7                STRUCTURE UPLOADED
L8              1 S L7
L9              0 S L7  SUB=L4 FULL

     FILE 'STNGUIDE' ENTERED AT 11:20:31 ON 28 JUN 2007

     FILE 'HCAPLUS' ENTERED AT 11:21:22 ON 28 JUN 2007
L10         48216 S NUCLEOSIDE
L11         60425 S ANTIVIRAL
L12         11902 S PRODRUG
L13         10135 S DIDEOXY
L14       1778631 S PHOSPHATE OR ESTER OR (AMINO(W)ACID) OR LEUC? OR VALINE OR VA

     FILE 'STNGUIDE' ENTERED AT 11:22:01 ON 28 JUN 2007

     FILE 'HCAPLUS' ENTERED AT 11:22:26 ON 28 JUN 2007
L15            16 S L10 AND L11 AND L12 AND L13 AND L14

     FILE 'STNGUIDE' ENTERED AT 11:22:28 ON 28 JUN 2007

     FILE 'HCAPLUS' ENTERED AT 11:22:53 ON 28 JUN 2007
L16            10 S L15 AND (PY<2003 OR AY<2003 OR PRY<2003)
```

=> file registry
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:13:52 ON 28 JUN 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 JUN 2007 HIGHEST RN 939702-02-0
DICTIONARY FILE UPDATES: 27 JUN 2007 HIGHEST RN 939702-02-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

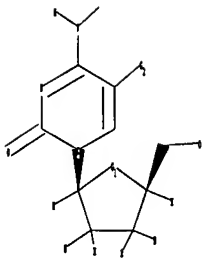
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

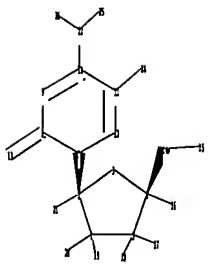
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10632875lnucleoside.str





chain nodes :
13 14 15 16 17 18 19 20 21 22 24 25 26
ring nodes :
1 2 3 4 5 7 8 9 10 11 12
chain bonds :
1-19 1-20 2-7 2-21 4-14 4-16 5-17 5-18 8-13 10-22 11-24 14-15 22-25
22-26
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-5 1-19 1-20 2-3 2-7 2-21 3-4 4-5 4-14 4-16 5-17 5-18 7-8 7-12
8-9 8-13 9-10 10-11 10-22 11-12 11-24 14-15 22-25 22-26

G1:C,O,S,N

G2:C,N,Cl,Br,F,I

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 24:CLASS 25:CLASS 26:CLASS

Stereo Bonds:

7-2 (Single Wedge).
14-4 (Single Wedge).

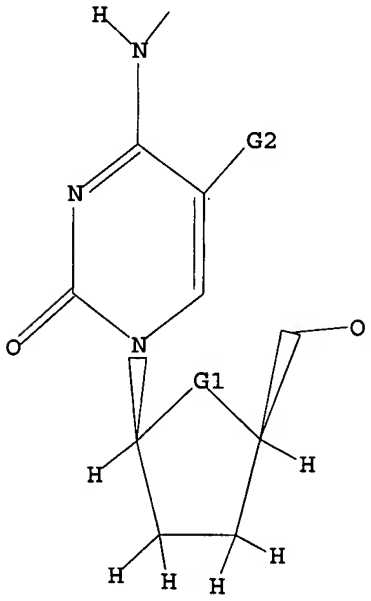
Stereo Chiral Centers:

2 (Parity=Odd)
4 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 2 Nodes= 2 4
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,O,S,N
G2 C,N,Cl,Br,F,I

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:14:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 65 TO ITERATE

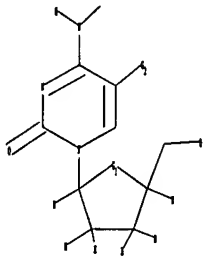
100.0% PROCESSED 65 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

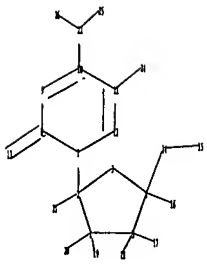
FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**
PROJECTED ITERATIONS: 817 TO 1783
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>
Uploading C:\Program Files\Stnexp\Queries\10632875nostereo.str





chain nodes :
13 14 15 16 17 18 19 20 21 22 24 25 26
ring nodes :
1 2 3 4 5 7 8 9 10 11 12
chain bonds :
1-19 1-20 2-7 2-21 4-14 4-16 5-17 5-18 8-13 10-22 11-24 14-15 22-25
22-26
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :

1-2 1-5 1-19 1-20 2-3 2-7 2-21 3-4 4-5 4-14 4-16 5-17 5-18 7-8 7-12
8-9 8-13 9-10 10-11 10-22 11-12 11-24 14-15 22-25 22-26

G1:C,O,S,N

G2:C,N,Cl,Br,F,I

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 24:CLASS 25:CLASS 26:CLASS

L3 STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 11:15:12 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 65 TO ITERATE

100.0% PROCESSED 65 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

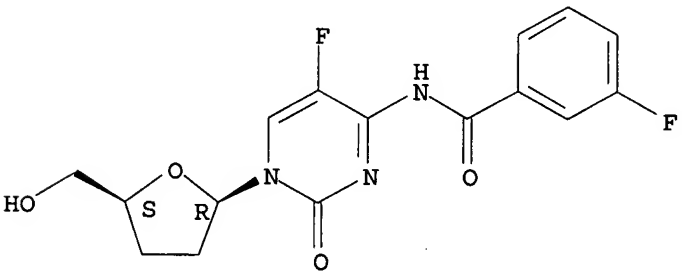
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 817 TO 1783
PROJECTED ANSWERS: 2 TO 124

L4 2 SEA SSS SAM L3

=> d l4 scan

L4 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Benzamide, 3-fluoro-N-[5-fluoro-1,2-dihydro-2-oxo-1-[(2R,5S)-tetrahydro-5-(hydroxymethyl)-2-furanyl]-4-pyrimidinyl]- (9CI)
MF C16 H15 F2 N3 O4

Absolute stereochemistry.



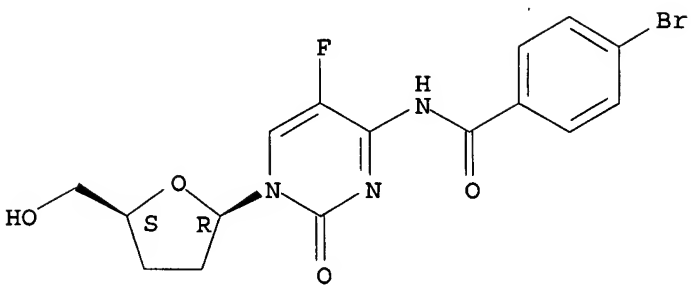
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L4 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Benzamide, 4-bromo-N-[5-fluoro-1,2-dihydro-2-oxo-1-[(2R,5S)-tetrahydro-5-(hydroxymethyl)-2-furanyl]-4-pyrimidinyl]- (9CI)

MF C16 H15 Br F N3 O4

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l3 sss fu
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

=> s l3 sss full
FULL SEARCH INITIATED 11:15:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1302 TO ITERATE

100.0% PROCESSED 1302 ITERATIONS 33 ANSWERS
SEARCH TIME: 00.00.01

L5 33 SEA SSS FUL L3

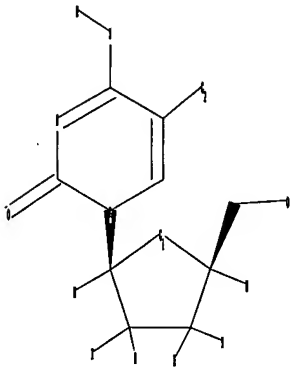
=> s l1 sub-l3
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

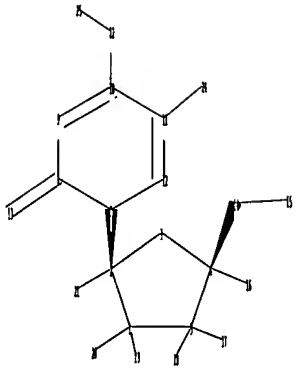
=> s l1 sub=l3
L3 MAY NOT BE USED HERE
The L-number must have been created by a search in this file. To see all L-numbers defined in this session, enter DISPLAY HISTORY at an arrow prompt (=>). For additional information on subset searching in this file, enter HELP SUBSET.
ENTER SUBSET L# OR (END):L4
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 11:16:02 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L6 0 SEA SUB=L4 SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10632875unprotect.str





chain nodes :
13 14 15 16 17 18 19 20 21 22 24 25
ring nodes :
1 2 3 4 5 7 8 9 10 11 12
chain bonds :
1-19 1-20 2-7 2-21 4-14 4-16 5-17 5-18 8-13 10-22 11-24 14-15 22-25

ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-5 1-19 1-20 2-3 2-7 2-21 3-4 4-5 4-14 4-16 5-17 5-18 7-8 7-12
8-9 8-13 9-10 10-11 10-22 11-12 11-24 14-15 22-25

G1:C,O,S,N

G2:C,N,Cl,Br,F,I

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 24:CLASS 25:CLASS

Stereo Bonds:

7-2 (Single Wedge).
14-4 (Single Wedge).

Stereo Chiral Centers:

2 (Parity=Odd)
4 (Parity=Odd)

Stereo RSS Sets:

Type=Relative (Default). 2 Nodes= 2 4
L7 STRUCTURE UPLOADED

=> s l7
SAMPLE SEARCH INITIATED 11:17:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 259 TO ITERATE

100.0% PROCESSED 259 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

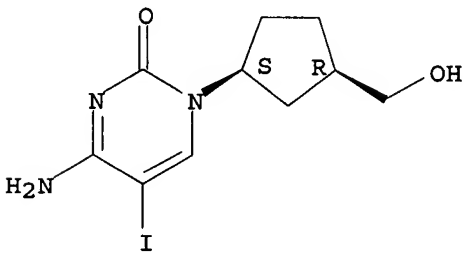
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4215 TO 6145
PROJECTED ANSWERS: 1 TO 80

L8 1 SEA SSS SAM L7

=> d l8 scan

L8 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 2(1H)-Pyrimidinone, 4-amino-1-[(1S,3R)-3-(hydroxymethyl)cyclopentyl]-5-iodo- (9CI)
MF C10 H14 I N3 O2

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

```
=> s l7 sub=l4
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):full
FULL SUBSET SEARCH INITIATED 11:17:45 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -        2 TO ITERATE

100.0% PROCESSED        2 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

L9             0 SEA SUB=L4 SSS FUL L7

=> d his

     (FILE 'HOME' ENTERED AT 11:13:26 ON 28 JUN 2007)

     FILE 'REGISTRY' ENTERED AT 11:13:52 ON 28 JUN 2007
L1               STRUCTURE UPLOADED
L2             0 S L1
L3               STRUCTURE UPLOADED
L4             2 S L3
L5            33 S L3 SSS FULL
L6             0 S L1  SUB=L4 FULL
L7               STRUCTURE UPLOADED
L8             1 S L7
L9             0 S L7  SUB=L4 FULL

=> log hold
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   256.10     256.31

 SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 11:17:52 ON 28 JUN 2007

Connecting via Winsock to STN



Welcome to STN International!  Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:
 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 11:20:25 ON 28 JUN 2007
FILE 'REGISTRY' ENTERED AT 11:20:25 ON 28 JUN 2007
COPYRIGHT (C) 2007 American Chemical Society (ACS)f

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   256.10     256.31

=> file stnguide
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   256.10     256.31

FILE 'STNGUIDE' ENTERED AT 11:20:31 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
```

```
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file hcaplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.06     256.37

FILE 'HCAPLUS' ENTERED AT 11:21:22 ON 28 JUN 2007
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FILE COVERS 1907 - 28 Jun 2007  VOL 147 ISS 1
FILE LAST UPDATED: 27 Jun 2007  (20070627/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s nucleoside

L10       48216 NUCLEOSIDE

=> s antiviral

L11       60425 ANTIVIRAL

=> s prodrug

L12       11902 PRODRUG

=> s dideoxy

L13       10135 DIDEOXY

=> s phosphate or ester or (amino(w)acid) or leuc? or valine or valyl

         570856 PHOSPHATE
         602276 ESTER
        1123793 AMINO
        4392981 ACID
         564853 AMINO(W)ACID
         154696 LEUC?
          56188 VALINE
           3578 VALYL
L14     1778631 PHOSPHATE OR ESTER OR (AMINO(W)ACID) OR LEUC? OR VALINE OR VALYL

=> file stnguide

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         2.60     258.97
```

FILE 'STNGUIDE' ENTERED AT 11:22:01 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	259.03

FILE 'HCAPLUS' ENTERED AT 11:22:26 ON 28 JUN 2007
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FILE COVERS 1907 - 28 Jun 2007 VOL 147 ISS 1
FILE LAST UPDATED: 27 Jun 2007 (20070627/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l10 and l11 and l12 and l13 and l14

L15 16 L10 AND L11 AND L12 AND L13 AND L14

=> file stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.60	261.63

FILE 'STNGUIDE' ENTERED AT 11:22:28 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file hcaplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	261.69

FILE 'HCAPLUS' ENTERED AT 11:22:53 ON 28 JUN 2007
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 28 Jun 2007 VOL 147 ISS 1
FILE LAST UPDATED: 27 Jun 2007 (20070627/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l15 and (PY<2003 or AY<2003 or PRY<2003)

```
      22885621 PY<2003
       4447177 AY<2003
       3925593 PRY<2003
L16          10 L15 AND (PY<2003 OR AY<2003 OR PRY<2003)
```

=> file stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.60	264.29

FILE 'STNGUIDE' ENTERED AT 11:22:57 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	264.35

FILE 'STNGUIDE' ENTERED AT 11:22:58 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file stnguide

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	264.41

FILE 'STNGUIDE' ENTERED AT 11:22:58 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

```
=> file stnguide

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.06     264.47

FILE 'STNGUIDE' ENTERED AT 11:22:59 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file stnguide

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.06     264.53

FILE 'STNGUIDE' ENTERED AT 11:23:00 ON 28 JUN 2007
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file stnguide

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.06     264.59

FILE 'STNGUIDE' ENTERED AT 11:23:00 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> file stnguide
<------User Break------>

=> file stnguide

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.06     264.65

FILE 'STNGUIDE' ENTERED AT 11:23:02 ON 28 JUN 2007
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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jun 25, 2007 (20070625/UP).

=> d l16 1-10 ti
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y


L16  ANSWER 1 OF 10  HCAPLUS  COPYRIGHT 2007 ACS on STN
TI   Preparation, antiviral activity, and cytotoxicity of β-2'-
     and 3'-halo-nucleosides
```

L16 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI 3-Aminopyridine-2-carboxyaldehyde thiosemicarbazones and methods using them for treating viral and fungal infections

L16 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 2,3-dideoxy-2,3-didehydronucleosides for inhibiting/treating HIV infections and AIDS related symptoms

L16 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of nucleosides with anti-hepatitis B virus activity

L16 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI The mechanism of phosphorylation of anti-HIV D4T by nucleoside diphosphate kinase

L16 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 2'-fluoro nucleosides as antiviral agents

L16 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of amino acid-containing nucleoside esters as inhibitors of retroviral reverse transcriptase and hepatitis B virus DNA polymerase

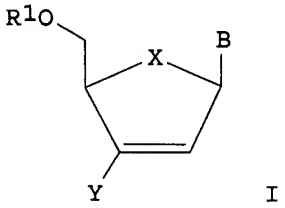
L16 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Synthesis and antiviral activity of prodrugs of the nucleoside 1-[2',3'-dideoxy-3'-C-(hydroxymethyl)-β-D-erythropentofuranosyl]cytosine

L16 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Marked inhibitory activity of masked aryloxy aminoacyl phosphoramidate derivatives of dideoxynucleoside analogs against visna virus infection

L16 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Potential prodrug derivatives of 2',3'-didehydro-2',3'-dideoxynucleosides. Preparations and antiviral activities

=> d l16 1-10 ti abs bib
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L16 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation, antiviral activity, and cytotoxicity of β-2'- and 3'-halo-nucleosides
GI



I

AB The present invention includes compds. and compns. of β-halo-nucleosides I wherein: R1 is hydrogen, straight chained, branched or cyclic alkyl, CO-alkyl, CO-aryl, CO- alkoxyalkyl, CO-aryloxyalkyl, CO-substituted aryl, alkylsulfonyl, arylsulfonyl, aralkylsulfonyl,

amino acid residue, mono, di, or triphosphate, or a phosphate derivative; X is O, S, SO2 or CH2; Y is fluoro, chloro, bromo or iodo; and B is a purine or pyrimidine base that may optionally be substituted, as well as methods to treat HIV, HBV or abnormal cellular proliferation comprising administering said compds. or compns. Thus, (-)-1-[(1S,4R)-2,3-dideoxy-2,3-didehydro-2-fluoro-4-thio-β-D-ribofuranosyl]-cytosine was prepared and tested in vitro as antiviral agent. Preferred examples of antiviral agents can be used in combination or alternation with other known antiviral agents for HIV therapy. Use of the any one of the pharmaceutical compns. for the treatment and/or prophylaxis of an HIV infection or an abnormal cellular proliferation in a host.

AN 2003:5729 HCAPLUS <<LOGINID::20070628>>
DN 138:56191
TI Preparation, antiviral activity, and cytotoxicity of β-2'- and 3'-halo-nucleosides
IN Chu, Chung K.; Otto, Michael J.; Shi, Junxing; Schinazi, Raymond F.; Choi, Yongseok; Gumina, Giuseppe; Chong, Youhoon; et al.
PA Pharmasset Ltd., Barbados; University of Georgia Research Foundation, Inc.; Emory University
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000200	A2	20030103	WO 2002-US20245	20020624 <--
	WO 2003000200	A3	20040902		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2451745	A1	20030103	CA 2002-2451745	20020624 <--
	AU 2002322325	A1	20030108	AU 2002-322325	20020624 <--
	EP 1478322	A2	20041124	EP 2002-756310	20020624 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	JP 2005503358	T	20050203	JP 2003-506646	20020624 <--
	CN 1599744	A	20050323	CN 2002-816455	20020624 <--
	US 2005119286	A1	20050602	US 2002-179612	20020624 <--
	US 6949522	B2	20050927		
	BR 2002010594	A	20051101	BR 2002-10594	20020624 <--
PRAI	US 2001-300356P	P	20010622	<--	
	US 2001-305386P	P	20010713	<--	
	WO 2002-US20245	W	20020624	<--	

OS MARPAT 138:56191

L16 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI 3-Aminopyridine-2-carboxyaldehyde thiosemicarbazones and methods using them for treating viral and fungal infections
AB The invention provides methods for treating viral or fungal infections using 3-aminopyridine-2-carboxyaldehyde thiosemicarbazone (3-AP) and 3-amino-4-methylpyridine-2-carboxaldehyde thiosemicarbazone (3-AMP), and prodrug forms thereof, as well as pharmaceutical compns. comprising these compds. Preparation of compds. of the invention is described.
AN 2002:832613 HCAPLUS <<LOGINID::20070628>>
DN 137:333119

TI 3-Aminopyridine-2-carboxyaldehyde thiosemicarbazones and methods using them for treating viral and fungal infections
IN King, Ivan C.; Doyle, Terrence W.; Sznol, Mario; Sartorelli, Alan C.; Cheng, Yung-Chi
PA Vion Pharmaceuticals, Inc., USA; Yale University
SO PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085358	A2	20021031	WO 2002-US12358	20020418 <--
	WO 2002085358	A3	20021219		
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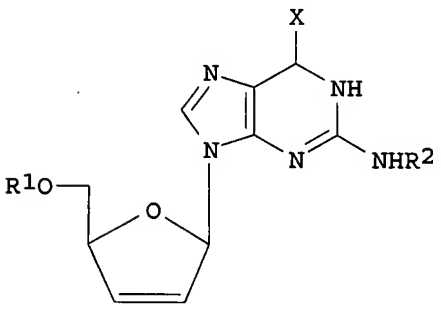
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002256283	A1	20021105	AU 2002-256283	20020418 <--
	US 2002188011	A1	20021212	US 2002-126050	20020418 <--
	US 6911460	B2	20050628		
	CN 1503669	A	20040609	CN 2002-808591	20020418 <--
	US 2005261251	A1	20051124	US 2005-93648	20050330 <--
PRAI	US 2001-285559P	P	20010420 <--		
	US 2002-126050	A3	20020418 <--		
	WO 2002-US12358	W	20020418 <--		

OS MARPAT 137:333119

L16 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 2,3-dideoxy-2,3-didehydronucleosides for inhibiting/treating HIV infections and AIDS related symptoms
GI



I

AB The present invention relates to novel 2,3-dideoxy -2,3-didehydronucleosides I, where X is OMe, N3, NHMe, NMe2 or an aminocyclopropyl group; R1 is H or a C1-C20 acyl or ether group, a phosphate, diphosphate, triphosphate or phosphodiester group; and R2 is H or a C1-C20 acyl or ether group, were prepared for inhibiting the growth, elaboration and/or replication of HIV in human patients and to the prevention and treatment of human acquired immunodeficiency syndrome (AIDS) and other diseases caused by retroviral infection. More

particularly, in preferred aspects, the present invention provides a method for the use of novel prodrug forms of 9-(2,3-Dideoxy-β-D-glycero-pent-2-enofuranosyl)guanine (d4G) for the prevention and treatment of both wild type and drug-resistant human immunodeficiency virus (HIV), the causative pathogen of AIDS. Thus, 2-amino-6-cyclopropylamino-9-(2,3-dideoxy-β-D-glycero-pent-2-enofuranosyl)purine (II) was prepared for inhibiting/treating HIV infections and AIDS related symptoms. II evidenced strong anti-HIV activity and reduced toxicity (in most cases, substantially and/or relatively non-toxic) to normal cells. Kinetic consts. for the incorporation of the active metabolites of guanosine prodrugs with wild type HIV-1 reverse transcriptase is reported.

AN 2002:615331 HCAPLUS <<LOGINID::20070628>>
DN 137:169743
TI Preparation of 2,3-dideoxy-2,3-didehydronucleosides for inhibiting/treating HIV infections and AIDS related symptoms
IN Anderson, Karen S.; Chu, Chung K.; Ray, Adrian Staffin; Yang, Zhenjun
PA Yale University, USA; The University of Georgia Research Foundation, Inc.
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002062123	A2	20020815	WO 2002-US3371	20020205 <--
	WO 2002062123	A3	20021031		
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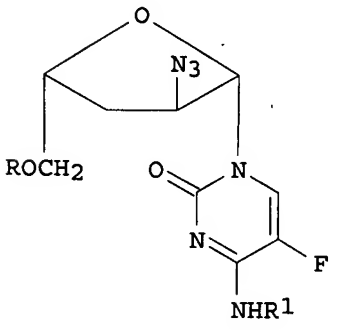
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002242096	A1	20020819	AU 2002-242096	20020205 <--
	US 2003018015	A1	20030123	US 2002-68635	20020205 <--
	US 6900315	B2	20050531		
PRAI	US 2001-266751P	P	20010206 <--		
	WO 2002-US3371	W	20020205 <--		

OS MARPAT 137:169743

L16 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of nucleosides with anti-hepatitis B virus activity
GI



I

AB This invention is directed towards the preparation of β-L-(2' or 3'-azido)-2',3'-dideoxy-5-fluorocytosines I (R = H, acyl, monophosphate, diphosphate, triphosphate, or a stabilized phosphate derivative (to form a stabilized nucleotide prodrug); R1 = H, acyl, or alkyl) active against hepatitis B virus and a method for the treatment of hepatitis B virus infection in humans and other host animals. Thus, β-L-(2'-azido)-2',3'- dideoxy -5-fluorocytidine was prepared and tested for its anti-hepatitis B activity in transfected Hep G-2(2.2.15) cells (EC50 = 0.1 μM) and cytotoxicity (CC50 > 200 μM).

AN 2000:314706 HCAPLUS <<LOGINID::20070628>>

DN 132:308603

TI Preparation of nucleosides with anti-hepatitis B virus activity

IN Gosselin, Gilles; Imbach, Jean-Louis; Sommadossi, Jean-Pierre; Schinazi, Raymond F.

PA Centre National de la Recherche Scientifique, Fr.; The UAB Research Foundation; Emory University

SO PCT Int. Appl., 57 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000026225	A2	20000511	WO 1999-US26157	19991105 <--
	WO 2000026225	A3	20001005		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2348470	A1	20000511	CA 1999-2348470	19991105 <--
	CA 2348470	C	20070605		
	EP 1124839	A2	20010822	EP 1999-958793	19991105 <--
	EP 1124839	B1	20060111		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
	BR 9915555	A	20020115	BR 1999-15555	19991105 <--
	US 6458773	B1	20021001	US 1999-435261	19991105 <--
	AU 774720	B2	20040708	AU 2000-16085	19991105 <--
	RU 2237479	C2	20041010	RU 2001-115094	19991105 <--
	AT 315574	T	20060215	AT 1999-958793	19991105 <--
	IN 2001DN00467	A	20050311	IN 2001-DN467	20010601 <--
	IN 2001DN00579	A	20050311	IN 2001-DN579	20010703 <--
	IN 2001DN00580	A	20050311	IN 2001-DN580	20010703 <--
	IN 2001DN00576	A	20060609	IN 2001-DN576	20010703 <--
	HK 1036069	A1	20060602	HK 2001-106816	20010927 <--
PRAI	US 1998-107116P	P	19981105 <--		
	US 1999-115653P	P	19990113 <--		
	WO 1999-US26157	W	19991105 <--		
	IN 2001-DN467	A3	20010601 <--		

OS MARPAT 132:308603

L16 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN

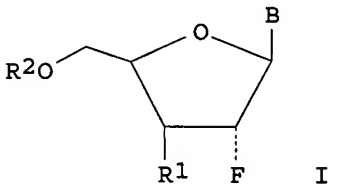
TI The mechanism of phosphorylation of anti-HIV D4T by nucleoside diphosphate kinase

AB The last step in the intracellular activation of antiviral nucleoside analogs is the addition of the third phosphate by nucleoside diphosphate (NDP) kinase resulting in the synthesis of the viral reverse transcriptase substrates. We have previously shown that dideoxynucleotide analogs and 3'-deoxy-3'-

azidothymidine (AZT) as di- or triphosphate are poor substrates for NDP kinase. By use of protein fluorescence, we monitor the phosphotransfer between the enzyme and the nucleotide analog. Here, we have studied the reactivity of D4T (2',3'-dideoxy-2',3'-didehydrothymidine; stavudine) as di- (DP) or triphosphate (TP) at the pre-steady state. The catalytic efficiency of D4T-DP or -TP is increased by a factor of 10 compared with AZT-DP or -TP, resp. We use an inactive mutant of NDP kinase to monitor the binding of a TP derivative, and show that the affinity for D4T-TP is in the same range as for the natural substrate deoxythymidine triphosphate, but is 30 times higher than for AZT-TP. Our results indicate that D4T should be efficiently phosphorylated after intracellular maturation of a prodrug into D4T-monophosphate.

AN 2000:303386 HCAPLUS <<LOGINID::20070628>>
DN 133:68441
TI The mechanism of phosphorylation of anti-HIV D4T by nucleoside diphosphate kinase
AU Schneider, Benoit; Biondi, Ricardo; Sarfati, Robert; Agou, Fabrice; Guerreiro, Catherine; Deville-Bonne, Dominique; Veron, Michel
CS Unite de Regulation Enzymatique des Activites Cellulaires, Centre National de la Recherche Scientifique, Unite de Recherche Associee 1773, Institut Pasteur, Paris, Fr.
SO Molecular Pharmacology (2000), 57(5), 948-953
CODEN: MOPMA3; ISSN: 0026-895X
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of 2'-fluoro nucleosides as antiviral agents
GI



I

AB 2'-Fluoro nucleoside compds. I wherein R1 is OH, H, OR3, N3, CN, halogen, including F, or CF3, lower alkyl, amino, lower alkylamino, or alkoxy, and base refers to a purine or pyrimidine base; R2 is H, phosphate, including monophosphate, diphosphate, triphosphate, or a stabilized phosphate prodrug; acyl, or other pharmaceutically acceptable leaving group which when administered in vivo , is capable of providing a compound wherein R2 is H or phosphate; sulfonate ester including alkyl or arylalkyl sulfonyl including methanesulfonyl, benzyl, wherein the Ph group is optionally substituted with one or more substituents as described in the definition of aryl given above, a lipid, an amino acid, peptide, or cholesterol; and R3 is acyl, alkyl, phosphate, or other pharmaceutically acceptable leaving group which when administered in vivo , is capable of being cleaved to the parent compound, or a pharmaceutically acceptable salt thereof, are disclosed which are useful in the treatment of hepatitis B infection, hepatitis C infection, HIV and abnormal cellular proliferation, including tumors and cancer. Thus, 1-(2,3-dideoxy -2-fluoro-β-L-glycero-pent-2-eno-furanosyl)thymine was prepared and tested for its antiviral activity (EC50 > 100 μM).

AN 1999:566061 HCAPLUS <<LOGINID::20070628>>
DN 131:170587
TI Preparation of 2'-fluoro nucleosides as antiviral agents
IN Schinazi, Raymond F.; Liotta, Dennis C.; Chu, Chung K.; Mcatee, J. Jeffrey; Shi, Junxing; Choi, Yongseok; Lee, Kyeong; Hong, Joon H.
PA Emory University, USA; The University of Georgia Research Foundation, Inc.
SO PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943691	A1	19990902	WO 1999-US4051	19990225 <--
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	AU 9927871	A	19990915	AU 1999-27871	19990225 <--
	EP 1058686	A1	20001213	EP 1999-908437	19990225 <--
	EP 1058686	B1	20061102		
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	US 6348587	B1	20020219	US 1999-257130	19990225 <--
	BR 9908270	A	20040629	BR 1999-8270	19990225 <--
	AT 344271	T	20061115	AT 1999-908437	19990225 <--
	EP 1754710	A2	20070221	EP 2006-76757	19990225 <--
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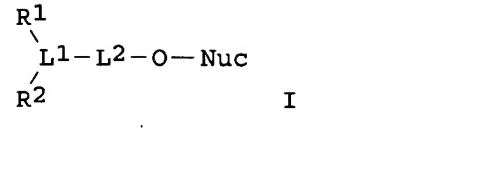
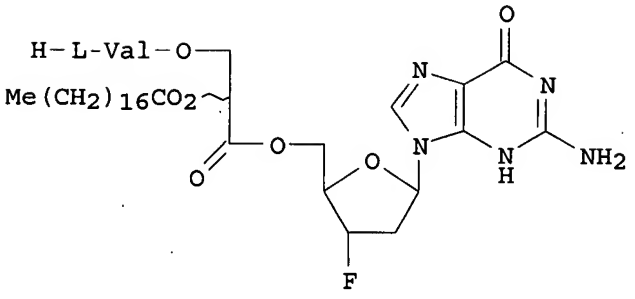
	US 2002198171	A1	20021226	US 2002-61128	20020130 <--
	US 6911424	B2	20050628		
	AU 2003244569	A1	20031002	AU 2003-244569	20030905 <--
	AU 2003244569	B2	20070405		
	US 2004254141	A1	20041216	US 2004-796529	20040308 <--
PRAI	US 1998-75893P	P	19980225 <--		
	US 1998-80569P	P	19980403 <--		
	EP 1999-908437	A3	19990225 <--		
	US 1999-257130	A1	19990225 <--		
	WO 1999-US4051	W	19990225 <--		
	US 2002-61128	A1	20020130 <--		

OS MARPAT 131:170587
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Preparation of amino acid-containing nucleoside esters as inhibitors of retroviral reverse transcriptase and hepatitis B virus DNA polymerase
GI



I



II

AB Nucleoside analogs I [Nuc = nucleoside analog residue bonded through its single hydroxy group on the cyclic or acyclic saccharide moiety; R1 = optionally esterified or amide bonded OH, NH2, CO2H, C4-C22 saturated or unsatd., optionally substituted fatty acid or alc., aliphatic L-amino acid; R2 = aliphatic L-amino acid residue; L1 = trifunctional linker group; L2 = bond, difunctional linker group] and pharmaceutically acceptable salts thereof have favorable pharmacol. properties and are antivirally active. Thus, nucleoside ester II was prepared by esterification of 2',3'-dideoxy-3'-fluoroguanosine (FLG) with 3-(N-benzyloxycarbonyl-L-valyloxy)-2-stearoyloxypropanoic acid followed by hydrogenolysis. II showed 81.5% bioavailability of FLG after 6 h in a rat bioavailability assay model.

AN 1999:139847 HCAPLUS <<LOGINID::20070628>>

DN 130:209924

TI Preparation of amino acid-containing nucleoside esters as inhibitors of retroviral reverse transcriptase and hepatitis B virus DNA polymerase

IN Zhou, Xiao-Xiong; Johansson, Nils-Gunnar; Wahling, Horst

PA Medivir AB, Swed.

SO PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9909031	A1	19990225	WO 1998-SE1467	19980814 <--
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	CA 2298704	C	20060711		
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TR 200000398          T2    20000721    TR 2000-200000398      19980814 <--
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AU 775578	B2	20040805	AU 2001-35224	20010417	<--
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HK 1035907	A1	20061229	HK 2001-106601	20010918	<--
US 2003186924	A1	20031002	US 2001-15184	20011116	<--
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US 7071173	B2	20060704			
US 2006122383	A1	20060608	US 2006-335429	20060118	<--
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SE 1998-452	A	19980213	<--		
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SE 1998-1216	A	19980403	<--		
ZA 1998-7267	A	19980813	<--		
AU 1998-87548	A3	19980814	<--		
CN 2003-2003157988	A3	19980814	<--		
EP 1998-939041	A3	19980814	<--		
NZ 1998-502837	A1	19980814	<--		
WO 1998-SE1467	W	19980814	<--		
SE 1998-3438	A	19981007	<--		
US 1999-249317	A	19990212	<--		
WO 1999-SE189	W	19990212	<--		
AU 1999-32820	A3	19990215	<--		
WO 1999-SE194	W	19990215	<--		
WO 1999-SE528	W	19990330	<--		
WO 1999-SE1403	A2	19990818	<--		
US 2001-15184	A3	20011116	<--		
US 2005-202682	A3	20050812			

OS MARPAT 130:209924
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Synthesis and antiviral activity of prodrugs of the nucleoside 1-[2',3'-dideoxy-3'-C-(hydroxymethyl)-β-D-erythropentofuranosyl]cytosine
AB The synthesis and antiviral evaluation of 21 prodrugs of 1-[2',3'-dideoxy-3'-C-(hydroxymethyl)-β-D-erythropentofuranosyl] cytosine is reported. Cytosine N4-imine analogs were prepared by condensation with selected formamide di-Me acetals. Amino acid substituted prodrugs were prepared from 1-[2',3'-dideoxy-3'-C-(hydroxymethyl)-β-D-erythropentofuranosyl] cytosine or imine prodrug by coupling

with either N-tert-butoxycarbonyl(t-Boc)-L-valine or N-t-Boc-L-phenylalanine in the presence of dicyclohexylcarbodiimide (DCC) and 4-dimethylaminopyridine (4-DMAP). Deprotection of the t-Boc protecting group was achieved with trifluoroacetic acid (TFAA) in methylene chloride. Cytosine N4-amide analogs were prepared by reaction with appropriate anhydrides in aqueous dioxane. Triacylated analog was prepared by reaction with four equivalent of benzoyl chloride in pyridine. Prodrugs were evaluated for activity against duck hepatitis B virus, herpes simplex virus types 1 and 2, human cytomegalovirus, and human immunodeficiency virus. A number of analogs were found comparable in activity to 1-[2',3'-dideoxy-3'-C-(hydroxymethyl)-β-D-erythropentofuranosyl]cytosine with the cytosine N4-imine series more active than the amino acid substituted and cytosine N4-amide prodrugs. Slight to moderate cellular toxicity was observed with some analogs.

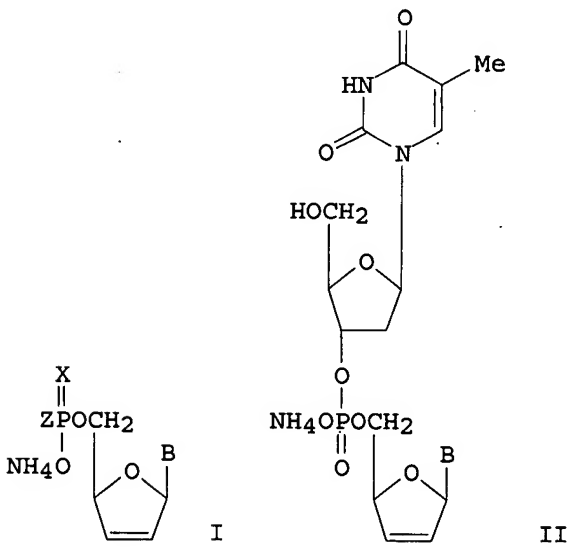
AN 1998:349272 HCAPLUS <<LOGINID::20070628>>
DN 129:95679
TI Synthesis and antiviral activity of prodrugs of the nucleoside 1-[2',3'-dideoxy-3'-C-(hydroxymethyl)-β-D-erythropentofuranosyl]cytosine
AU Mauldin, Scott C.; Paget, C. J., Jr.; Jones, C. David; Colacino, Joseph M.; Baxter, Angela J.; Staschke, Kirk A.; Johansson, Nils-Gunnar; Vrang, Lotta
CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Bioorganic & Medicinal Chemistry (1998), 6(5), 577-585
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Marked inhibitory activity of masked aryloxy aminoacyl phosphoramidate derivatives of dideoxynucleoside analogs against visna virus infection
AB Lipophilic masked aryloxyaminoacylphosphoramidate derivs. of 2',3'-dideoxynucleoside (ddN) analogs with potent anti-HIV activity (i.e., stavudine [d4T], azidothymidine [AZT], dideoxycytidine [ddC], 3'-thio-2',3'-dideoxy cytidine [3TC], dideoxyadenosine [ddA], and 2',3'-didehydro-2',3'-dideoxyadenosine [d4A]) activity were evaluated for their activity against visna virus (VV) in sheep choroid plexus (SCP) cells. The activity of several prodrug derivs. against VV proved markedly superior to that of the corresponding free ddN analogs. In particular, the d4A and ddA prodrug derivs. were exquisitely inhibitory in this model system (50% effective concentration [EC50], ≤0.003 μM), and their anti-VV potency exceeded by at least 200-fold the antiviral potency of the corresponding free nucleosides. Marked differences were noted in the anti-VV potencies of several of the test compds. depending on the nature of the amino acid linked to the 5'-phosphate moiety, the nature of the nucleoside, or both. In view of the stability of the prodrugs in lamb serum, the VV infection model in lambs may be considered highly useful for investigating the in vivo antiretroviral efficacy of these type of drugs, particularly the d4T, ddA, and d4A prodrug derivs.

AN 1998:231788 HCAPLUS <<LOGINID::20070628>>
DN 129:36134
TI Marked inhibitory activity of masked aryloxy aminoacyl phosphoramidate derivatives of dideoxynucleoside analogs against visna virus infection
AU Balzarini, Jan; Cahard, Dominique; Wedgwood, Orson; Salgado, Antonio; Velazquez, Sonsolez; Yarnold, Christopher J.; De Clercq, Drik; McGuigan, Christopher; Thormar, Halldor

CS Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
SO Journal of Acquired Immune Deficiency Syndromes and Human Retrovirology (1998), 17(4), 296-302
CODEN: JDSRET; ISSN: 1077-9450
PB Lippincott-Raven Publishers
DT Journal
LA English
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2007 ACS on STN
TI Potential prodrug derivatives of 2',3'-didehydro-2',3'-dideoxynucleosides. Preparations and antiviral activities
GI



AB The preps. and antiviral activities of a series [I (Z = Me, Ph, PhO, or MeO; X = O or S; B = 9-adeninyl or 1-cytosinyl) and II (B = 9-adeninyl or 1-cytosinyl)] of potential prodrug forms of the antivirals 2',3'-didehydro-2',3'-dideoxyadenosine (D4A) and 2',3'-didehydro-2',3'-dideoxycytosine (D4C) are reported. The 5'-Ph and 5'-methylphosphonates and their phosphonothionate congeners were inactive in vitro against HIV-1 and HIV-2. However, the 5'-Ph, 5'-Me, and 5'-(3'-thymidyl) phosphate diesters demonstrated inhibition of the cytopathic effect of HIV-1 and HIV-2 (EC50 ≈ 1-60 μM) and cytotoxicities (CC50 ≈ 35-200 μM) at concentration levels comparable to those of their parent compds., D4A and D4C. This strongly suggests that the diesters are hydrolyzed to the nucleosides, D4A and D4C, and/or their 5'-monophosphates. The facile hydrolysis I (Z = PhO, X = O; B = 9-adeninyl or 1-cytosinyl) to these products was demonstrated in a medium containing 10% fetal calf serum. The mols. can serve as ready prodrug sources of the free nucleosides and their 5'-monophosphates. Evidently, the phosphonates and phosphonothionates are not similarly cleaved, nor are they phosphorylated to form antivirally active or cytotoxic products. The importance of intracellular formation of these products in the activation of I (Z = PhO or MeO; X = O, B = 9-adeninyl or 1-cytosinyl) is less clear. Potential prodrugs are all stable in aqueous solution for hours with the exception of I (Z = MeO, X = O, B = 1-cytosinyl). They showed no activity

against a series of DNA and RNA viruses,.

AN 1992:462347 HCAPLUS <<LOGINID::20070628>>

DN 117:62347

TI Potential prodrug derivatives of 2',3'-didehydro-2',3'-dideoxynucleosides. Preparations and antiviral activities

AU Mullah, Khairuzzaman B.; Rao, T. Sudhakar; Balzarini, Jan; De Clercq, Erik; Bentrude, Wesley G.

CS Dep. Chem., Univ. Utah, Salt Lake City, UT, 84112, USA

SO Journal of Medicinal Chemistry (1992), 35(15), 2728-35
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 117:62347